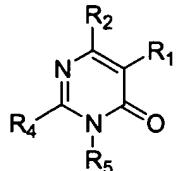


What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable salt thereof, wherein

5 R_1 is H, halogen, NO_2 , alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkenyl, alkynyl, arylalkynyl, -CN, aryl, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, haloalkoxy, carboxyl, or arylalkanoyl, wherein the aryl portion of arylalkoxy, arylalkyl, and

10 R_1 is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_1\text{-C}_4$ alkoxy, nitro, CN, haloalkyl, haloalkoxy or CO_2R ;

15 wherein the alkyl portion of the alkyl, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkanoyl, alkoxy, alkoxyalkyl and arylalkanoyl groups is unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ alkoxy carbonyl, or $\text{C}_3\text{-C}_7$ cycloalkyl;

20 R_2 is H, OH, halogen, $-\text{OSO}_2-(\text{C}_1\text{-C}_6)$ alkyl, $-\text{OSO}_2\text{-aryl}$, arylalkoxy, aryloxy, arylthio, arylthioalkoxy, arylalkynyl, alkoxy, aryloxy($\text{C}_1\text{-C}_6$)alkyl, alkyl, alkynyl, $-\text{OC(O)NH(CH}_2)_n\text{aryl}$, $-\text{OC(O)N(alkyl)(CH}_2)_n\text{aryl}$, alkoxyalkoxy, dialkylamino, alkyl, alkoxy, aryl, arylalkyl, heteroaryl, heteroarylalkyl, arylalkenyl, heterocycloalkyl,

25 heterocycloalkylalkyl, alkoxyalkoxy, NR_8R_9 , dialkylamino, or CO_2R , wherein

n is 0, 1, 2, 3, 4, 5 or 6; each of which groups is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently

halogen, - (C₁-C₆) alkyl-N(R)-CO₂R₃₀, haloalkyl,
heteroaryl, heteroarylalkyl, -NR₆R₇, R₆R₇N-(C₁-C₆
alkyl)-, -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, -(C₁-C₄
alkyl)-NRC(O)NR₁₆R₁₇, haloalkoxy, alkyl, CN,
5 hydroxyalkyl, dihydroxyalkyl, alkoxy,
alkoxycarbonyl, phenyl, -SO₂-phenyl wherein the
phenyl and -SO₂-phenyl groups are optionally
substituted with 1, 2, or 3 groups that are
independently halogen or NO₂, or -OC(O)NR₆R₇, wherein
10 R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or
R₁₆, R₁₇ and the nitrogen to which they are attached
form a morpholinyl ring;
R₆ and R₇ are independently at each occurrence H,
15 alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxy,
alkanoyl, arylalkyl, arylalkoxy,
alkoxycarbonyl, -SO₂-alkyl, OH, alkoxy,
alkoxyalkyl, arylalkoxycarbonyl, -(C₁-C₄)alkyl-
CO₂-alkyl, heteroarylalkyl, or arylalkanoyl,
20 wherein each is unsubstituted or substituted
with 1, 2, or 3 groups that are independently,
halogen, OH, SH, heterocycloalkyl,
heterocycloalkylalkyl, C₃-C₇ cycloalkyl, alkoxy,
NH₂, NH(alkyl), N(alkyl)(alkyl), -O-alkanoyl,
25 alkyl, haloalkyl, carboxaldehyde, or
haloalkoxy; or
R₆, R₇, and the nitrogen to which they are attached
form a morpholinyl, pyrrolidinyl,
thiomorpholinyl, thiomorpholinyl S-oxide,
thiomorpholinyl S,S-dioxide, piperidinyl,
30 pyrrolidinyl, or piperazinyl ring which is
optionally substituted with 1 or 2 groups that
are independently C₁-C₄ alkyl, alkoxy carbonyl,

C_1-C_4 alkoxy, hydroxyl, hydroxyalkyl, dihydroxyalkyl, or halogen;

R at each occurrence is independently hydrogen or C_1-C_6 alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C_3-C_6 cycloalkyl;

5 R_{30} is C_1-C_6 alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C_3-C_6 cycloalkyl;

10 each R_8 is independently hydrogen, alkyl, alkanoyl, arylalkyl and arylalkanoyl, wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxy carbonyl, halogen, or haloalkyl;

15 each R_9 is hydrogen, alkyl, alkanoyl, arylalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, heteroaryl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, arylalkanoyl, $-SO_2$ -phenyl, and aryl wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxy carbonyl, halogen, or haloalkyl;

20 25 R_4 is hydrogen or R_4 is alkyl unsubstituted or substituted with one or two groups that are independently CO_2R , $-CO_2-(C_1-C_6)alkyl$, $-C(O)NR_6R_7$, $-C(O)R_6$, $-N(R_{30})C(O)NR_{16}R_{17}$, $-N(R_{30})C(O)-(C_1-C_6)alkoxy$, or $-NR_6R_7$, arylalkoxy, arylalkyl, heteroaryl, heteroarylalkyl, hydroxyalkyl, dihydroxyalkyl, haloalkyl, $R_6R_7N-(C_1-C_6)alkyl-$, $-NR_6R_7$, alkoxy, hydroxyalkoxy-, $(R_6R_7N)-alkoxy-$, $R_6R_7NC(O)-alkoxy-$, $R_6C(O)N(R_7)alkoxy-$, carboxaldehyde, $-C(O)NR_6R_7$, CO_2R , alkoxyalkyl, or alkoxyalkoxy, wherein the heteroaryl or

30

aryl portions of is the above are unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, hydroxy, alkoxy, alkyl, $-\text{CO}_2-(\text{C}_1-\text{C}_6)$ alkyl, $-\text{CONR}_6\text{R}_7$, $-\text{NR}_6\text{R}_7$, $\text{R}_6\text{R}_7\text{N}-(\text{C}_1-\text{C}_6)$ alkyl-, nitro, 5 haloalkyl, or haloalkoxy; and

R_5 is H, aryl, arylalkyl, arylthioalkyl, alkyl optionally substituted with 1, 2, or 3 groups that are independently arylalkoxycarbonyl, $-\text{NR}_8\text{R}_9$, halogen, $-\text{C}(\text{O})\text{NR}_8\text{R}_9$, alkoxy carbonyl, C_3-C_7 cycloalkyl, or alkanoyl, alkoxy,

10 alkoxyalkyl optionally substituted with one trimethylsilyl group, amino, alkoxy carbonyl, hydroxyalkyl, dihydroxyalkyl, alkynyl, $-\text{SO}_2$ -alkyl, alkoxy

15 optionally substituted with one trimethylsilyl group, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, $-\text{alkyl-S-aryl}$, $-\text{alkyl-SO}_2\text{-aryl}$, heteroarylalkyl, heterocycloalkyl, heteroaryl, or alkenyl optionally substituted with alkoxy carbonyl, wherein

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl,

20 halogen, alkoxy, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, thioalkoxy, alkoxy carbonyl, arylalkoxycarbonyl, CO_2R , CN, OH, hydroxyalkyl,

dihydroxyalkyl, amidino oxime, $-\text{NR}_6\text{R}_7$, $-\text{NR}_8\text{R}_9$, $\text{R}_6\text{R}_7\text{N}-(\text{C}_1-\text{C}_6)$ alkyl-, carboxaldehyde, SO_2 alkyl, $-\text{SO}_2\text{H}$, $-\text{SO}_2\text{NR}_6\text{R}_7$, alkanoyl wherein the alkyl portion is

25 optionally substituted with OH, halogen or alkoxy, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, $-(\text{C}_1-\text{C}_4)$ alkyl, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, amidino, haloalkyl, $-(\text{C}_1-\text{C}_4)$ alkyl, $-\text{NR}_{15}\text{C}(\text{O})\text{NR}_{16}\text{R}_{17}$, $-(\text{C}_1-\text{C}_4)$ alkyl, $-\text{NR}_{15}\text{C}(\text{O})\text{R}_{18}$, $-\text{O-CH}_2\text{-O}$, $-\text{O-CH}_2\text{CH}_2\text{-O-}$, or

30 haloalkoxy; wherein

R_{15} is H or C_1-C_6 alkyl; and

R_{18} is C_1-C_6 alkyl optionally substituted with $-\text{O-}(\text{C}_2-\text{C}_6)$ alkanoyl, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl,

C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl; amino C_1-C_6 alkyl, mono or dialkylamino C_1-C_6 alkyl.

2. A compound according to claim 1, of the formula:



or a pharmaceutically acceptable salt thereof, wherein

R_1 is H, halogen, alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkenyl, alkynyl, arylalkynyl, CN, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, carboxyl, or arylalkanoyl,

10

wherein the aryl portion of arylalkoxy, arylalkyl, and arylalkanoyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, nitro, CN, haloalkyl, 15

haloalkoxy or CO_2R ;

wherein the alkyl portion of the alkyl, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkanoyl, alkoxy, alkoxyalkyl and arylalkanoyl groups is unsubstituted or substituted with 1, 2, or 3 groups

20

that are independently halogen, C_1-C_4 alkoxy, C_1-C_4 alkoxy carbonyl, or cyclopropyl;

R_2 is H, OH, halogen, $-\text{OSO}_2-(C_1-C_6)$ alkyl, $-\text{OSO}_2\text{-aryl}$, arylalkoxy, aryloxy, arylthioalkoxy, arylalkynyl, alkoxy, phenyloxy(C_1-C_6)alkyl, $-\text{OC}(\text{O})\text{NH}(\text{CH}_2)_n\text{aryl}$,

25

$-\text{OC}(\text{O})\text{N(alkyl)}(\text{CH}_2)_n\text{aryl}$, alkyl, alkynyl, alkoxyalkoxy, dialkylamino, heteroaryl, heterocycloalkyl, aryloxyalkyl, or CO_2R , wherein

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen,

30

$-\text{NR}_6\text{R}_7$, haloalkyl, haloalkoxy, alkyl, heteroaryl,

heteroarylalkyl, -(C₁-C₄)alkyl-C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-NRC(O)NR₁₆R₁₇, CN, hydroxyalkyl, dihydroxyalkyl, -OC(O)NR₆R₇, or -(C₁-C₆)alkyl-N(R)-CO₂R₃₀, wherein

5 R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or R₁₆, R₁₇ and the nitrogen to which they are attached form a morpholinyl ring;

10 R₆ and R₇ are independently at each occurrence H, alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxy, alkoxyalkyl, alkanoyl, arylalkyl, arylalkoxy, arylalkoxycarbonyl, or arylalkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, alkoxy, alkyl, OH, SH, carboxaldehyde, haloalkyl, or haloalkoxy; or

15 R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, thiomorpholinyl S-oxide, thiomorpholinyl S,S-dioxide, piperidinyl, pyrrolidinyl, or 20 piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, alkoxy carbonyl, hydroxyl, hydroxyalkyl, dihydroxyalkyl, or halogen;

25 n is 0, 1, 2, 3, 4, 5 or 6;

R at each occurrence is independently H or C₁-C₆ alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

30 R₃₀ is C₁-C₆ alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

R₄ is H, alkyl optionally substituted with one or two groups that are independently CO₂R, -CO₂alkyl, -C(O)NR₆R₇, -C(O)R₆, -N(R₃₀)C(O)NR₁₆R₁₇, -N(R₃₀)C(O)-(C₁-C₆)alkoxy, or -NR₆R₇, arylalkoxy, heteroaryl, arylalkyl, hydroxyalkyl, dihydroxyalkyl, haloalkyl, -NR₆R₇, -C(O)NR₆R₇, alkoxy, hydroxyalkoxy-, (R₆R₇N)-alkoxy-, R₆R₇NC(O)-alkoxy-, R₆C(O)N(R₇)alkoxy-, alkoxyalkyl, or alkoxyalkoxy, wherein the heteroaryl or aryl portions of the above are unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, hydroxy, alkoxy, alkyl, -CO₂-(C₁-C₆)alkyl, -CONR₆R₇, -NR₆R₇, R₆R₇N-(C₁-C₆)alkyl-, nitro, haloalkyl, or haloalkoxy; and

R₅ is H, arylalkyl, alkyl optionally substituted with 1, 2, or 3 groups that are independently arylalkoxycarbonyl, -NR₈R₉, halogen, -C(O)NR₈R₉, alkoxy carbonyl, or alkanoyl, alkoxyalkyl optionally substituted with one trimethylsilyl group, alkoxy carbonyl, amino, hydroxyalkyl, dihydroxyalkyl, alkenyl optionally substituted with alkoxycarbonyl, alkynyl, -SO₂-alkyl, 20 aryl, alkoxy optionally substituted with one trimethylsilyl group, heterocycloalkylalkyl, heteroarylalkyl, heterocycloalkyl, or heteroaryl, wherein each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, halogen, alkoxy, arylalkoxy, hydroxyalkyl, dihydroxyalkyl, thioalkoxy, -SO₂alkyl, alkoxy carbonyl, arylalkoxycarbonyl, CO₂R, CN, OH, amidino oxime, NR₈R₉, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, 30 amidino, hydroxyalkyl, dihydroxyalkyl, carboxaldehyde, -NR₆R₇, haloalkyl, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -(C₁-C₄ alkyl)-CO₂R, -(C₁-C₄ alkyl)-C₁-C₆ alkoxy carbonyl, -(C₁-C₄ alkyl)-CN, -(C₁-C₄ alkyl)-

NR₁₅C(O)R₁₈, -O-CH₂-O-, -O-CH₂CH₂-O-, phenyl or haloalkoxy;

R₈ is hydrogen, alkyl, alkanoyl, arylalkyl and arylalkanoyl;

5 R₉ is alkyl, alkanoyl, arylalkyl, heteroaryl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, and arylalkanoyl.

3. A compound according to claim 2 wherein

10 R₁ is H, halogen, alkyl optionally substituted with C₁-C₄ alkoxy carbonyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, phenyl(C₁-C₆)alkoxy, phenyl(C₁-C₆)alkyl, CN, alkanoyl, alkoxy, C₂-C₄ alkynyl, C₂-C₆ alkenyl optionally substituted with C₁-C₄ alkoxy carbonyl, 15 alkoxyalkyl, haloalkyl, or phenyl(C₁-C₆)alkanoyl, wherein the phenyl groups are unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, nitro, CN, CF₃, OCF₃ or CO₂R;

20 wherein the alkyl groups are unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, methoxy, or ethoxy;

R₂ is OH, phenyl(C₁-C₆)alkoxy, phenoxy, phenoxy(C₁-C₆)alkyl, phenyl (C₁-C₄) thioalkoxy, C₁-C₈ alkoxy, alkoxyalkoxy, -O- 25 SO₂phenyl, alkynyl, phenyl (C₂-C₄) alkynyl, alkyl, -OC(O)NH(CH₂)_nphenyl, -OC(O)N(alkyl)(CH₂)_nphenyl, dialkylamino, pyridyl, pyrimidyl, pyridazyl, pyrazolyl, imidazolyl, pyrrolyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, tetrazolyl, pyrazinyl, 30 benzimidazolyl, triazinyl, tetrahydrofuryl, piperidinyl, hexahdropyrimidinyl, thiazolyl, thienyl, or CO₂R, wherein n is 0, 1, 2, 3, 4, 5 or 6;

each of the above is unsubstituted or substituted with 1,
2, 3, 4, or 5 groups that are independently halogen,
NR₆R₇, haloalkyl, haloalkoxy, hydroxyalkyl,
dihydroxyalkyl, alkyl, phenyl, pyridyl, piperidinyl,
5 piperazinyl, -(C₁-C₆)alkyl-N(R)-CO₂R₃₀, R₆R₇N-(C₁-C₆)
alkyl-, -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, -(C₁-C₄)
alkyl-NRC(O)NR₁₆R₁₇, or -OC(O)NR₆R₇, wherein
R₆ and R₇ are independently at each occurrence H,
10 alkyl, (C₁-C₄) hydroxyalkyl, (C₁-C₄)
dihydroxyalkyl, (C₁-C₄) alkoxy, (C₁-C₄) alkoxy
(C₁-C₄) alkyl, (C₁-C₄) alkanoyl, phenyl (C₁-C₄)
alkyl, phenyl (C₁-C₄) alkoxy, phenyl (C₁-C₄)
alkoxycarbonyl, or phenyl (C₁-C₄) alkanoyl,
15 wherein each of the above is unsubstituted or
substituted with 1, 2, or 3 groups that are
independently, halogen, OH, SH, C₃-C₆
cycloalkyl, (C₁-C₄) alkoxy, (C₁-C₄) alkyl, CF₃,
carboxaldehyde, NH₂, NH(C₁-C₆)alkyl, N(C₁-
C₆)alkyl (C₁-C₆)alkyl, OCF₃; or
20 R₆, R₇, and the nitrogen to which they are attached
form a morpholinyl, thiomorpholinyl,
piperidinyl, pyrrolidinyl, or piperazinyl ring
which is optionally substituted with 1 or 2
groups that are independently C₁-C₄ alkyl,
25 hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄
dihydroxyalkyl, C₁-C₄ alkoxy, carbonyl, or
halogen; and

R₄ is H, alkyl optionally substituted with one or two groups
that are independently CO₂R, -CO₂alkyl, -C(O)NR₆R₇,
30 -C(O)R₆, -N(R₃₀)C(O)NR₁₆R₁₇, -N(R₃₀)C(O)-(C₁-C₆)alkoxy, or
-NR₆R₇, arylalkoxy, heteroaryl, arylalkyl, hydroxyalkyl,
dihydroxyalkyl, haloalkyl, -NR₆R₇, -C(O)NR₆R₇, alkoxy,

hydroxyalkoxy-, (R_6R_7N) -alkoxy-, $R_6R_7NC(O)$ -alkoxy-, $R_6C(O)N(R_7)$ alkoxy-, alkoxyalkyl, or alkoxyalkoxy, wherein the heteroaryl or aryl portions of the above are unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, hydroxy, alkoxy, alkyl, $-CO_2-(C_1-C_6)$ alkyl, $-CONR_6R_7$, $-NR_6R_7$, $R_6R_7N-(C_1-C_6)$ alkyl-, nitro, haloalkyl, or haloalkoxy; and

R_5 is phenyl(C_1-C_6)alkyl, (C_1-C_6)alkyl optionally substituted with 1, 2, 3, 4, or 5 groups that are independently phenyl C_1-C_4 alkoxy carbonyl, $-NR_8R_9$, halogen, $-C(O)NR_8R_9$, alkoxy carbonyl, or alkanoyl, phenyl, alkoxy, C_2-C_6 alkynyl, C_2-C_6 alkenyl optionally substituted with alkoxy carbonyl, indolyl, quinolinyl, isoquinolinyl, isoindolyl, dihydroindolyl, pyrazolyl, imidazolyl, dihydroisoindolyl, indolon-2-yl, indazolyl, benzimidazolyl, pyridyl, imidazolidine dione, pyrazolyl(C_1-C_6) alkyl, imidazolyl(C_1-C_6) alkyl, piperidinyl(C_1-C_6) alkyl, pyrrolidinyl(C_1-C_6) alkyl, imidazolidinyl(C_1-C_6) alkyl, tetrahydroisoquinolinyl(C_1-C_6) alkyl, 1H-indazolyl(C_1-C_6) alkyl, dihydroindolon-2-yl(C_1-C_6) alkyl, indolinyl(C_1-C_6) alkyl, dihydrobenzimidazolyl(C_1-C_6) alkyl, or dihydrobenzoimidazolonyl(C_1-C_6) alkyl, pyridyl(C_1-C_6) alkyl, pyridazinyl(C_1-C_6) alkyl, pyrimidinyl(C_1-C_6) alkyl, pyrazinyl(C_1-C_6) alkyl, tetrahydrofuryl(C_1-C_6) alkyl, naphthyl(C_1-C_6) alkyl, morpholinyl(C_1-C_6) alkyl, tetrahydrofuryl(C_1-C_6) alkyl, thienyl(C_1-C_6) alkyl, piperazinyl(C_1-C_6) alkyl, indolyl(C_1-C_6) alkyl, quinolinyl(C_1-C_6) alkyl, isoquinolinyl(C_1-C_6) alkyl, isoindolyl(C_1-C_6) alkyl, dihydroindolyl(C_1-C_6) alkyl, pyrazolyl(C_1-C_4) alkyl, imidazolyl(C_1-C_4) alkyl, dihydroisoindolyl(C_1-C_6) alkyl, indoan-2-yl(C_1-C_6) alkyl,

indolon-2-yl(C₁-C₆) alkyl, or morpholinyl C₁-C₆ alkyl, wherein

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently C₁-C₆ alkyl, 5 halogen, C₁-C₆ alkoxy, phenyl C₁-C₆ alkoxy, C₁-C₆ thioalkoxy, C₁-C₆ alkoxy carbonyl, CO₂R, CN, -SO₂(C₁-C₆)alkyl, amidino oxime, NR₈R₉, -NR₆R₇, NR₆R₇ C₁-C₆ alkyl, -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, amidino, C₁-C₄ haloalkyl, hydroxy C₁-C₆ alkyl, C₁-C₆ dihydroxyalkyl, or 10 C₁-C₄ haloalkoxy; wherein

R₈ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆ alkyl and phenyl C₁-C₆ alkanoyl; and

R₉ is aminoalkyl, mono C₁-C₆ alkylamino C₁-C₆ alkyl, 15 di C₁-C₆ alkylamino C₁-C₆ alkyl, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆ alkyl, indazolyl, and phenyl C₁-C₆ alkanoyl.

4. A compound according to claim 3, wherein

R₁ is H, halogen, C₁-C₄ alkyl optionally substituted with C₁-C₄ 20 alkoxy carbonyl, C₂-C₄ alkenyl optionally substituted with C₁-C₄ alkoxy carbonyl, C₂-C₄ alkynyl, or carboxaldehyde;

R₂ is benzyloxy, OH, phenoxy, phenoxy(C₁-C₆)alkyl, phenyl (C₁-C₄) thioalkoxy, or pyridyl; wherein each of the above 25 is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, -(C₁-C₆)alkyl-N(R)-CO₂R₃₀, NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, (C₁-C₄) haloalkyl, -C(O)NR₆R₇, -(C₁-C₄)alkyl-NRC(O)NR₁₆R₁₇, (C₁-C₄) haloalkoxy, hydroxyalkyl, C₁-C₆ dihydroxyalkyl, (C₁-C₆) alkyl, pyridyl, or R₆R₇N-(C₁-C₆)alkyl)-.

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5. A compound according to claim 4, wherein

R₅ is indolyl, pyridyl, pyridazinyl, pyrimidinyl, indazolyl, tetrahydroquinolyl, tetrahydroisoquinolyl, pyrazolyl,

imidazolyl, furanyl, quinolinyl, isoquinolinyl, isoindolyl, dihydroindolyl, dihydroisoindolyl, indolon-2-yl, or pyrazinyl, each of which is unsubstituted or substituted with 1, 2, 3, 4 or 5 groups that are independently C_1 - C_4 alkyl, halogen, CF_3 , OCF_3 , $-CO_2CH_3$, C_1 - C_4 hydroxyalkyl, dihydroxyalkyl, C_1 - C_4 alkoxy, $-CO_2(C_1-C_5$ alkyl), benzyloxy, $-NR_6R_7$, $-(C_1-C_4)$ alkyl- $C(O)NR_6R_7$, $-NR_8R_9$, $NR_6R_7-(C_1-C_4)$ alkyl), $-C(O)NR_6R_7$, or amidinoxime; wherein 5
 R_6 and R_7 are independently at each occurrence H, C_1 - C_4 10
alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy C_1 - C_4 alkyl, C_1 - C_4 alkanoyl, phenyl C_1 - C_4 alkyl, phenyl C_1 - C_4 alkoxy, or phenyl C_1 - C_4 15
alkanoyl, wherein each is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, OH, SH, C_3 - C_6 cycloalkyl, aryl, C_1 - C_4 alkoxy, C_1 - C_4 alkyl, OH, CF_3 , or OCF_3 ; or 20
 R_6 , R_7 , and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, hydroxy, hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen.

6. A compound according to claim 5, wherein

25 R_5 is indolyl, pyridyl, pyrimidinyl, pyrazolyl, furanyl, indazolyl, dihydroindolyl, dihydroisoindolyl, indolon-2-yl, or pyrazinyl, each of which is unsubstituted or substituted with 1, 2, 3, or 4 groups that are independently C_1 - C_4 alkyl, halogen, CF_3 , OCF_3 , $-CO_2CH_3$, C_1 - C_4 30
hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, C_1 - C_4 alkoxy, $-CO_2(C_1-C_5$ alkyl), benzyloxy, $-C(O)NR_6R_7$, $-NR_8R_9$, $-(C_1-C_4)$ alkyl- $C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7-(C_1-C_4)$ alkyl), and amidinoxime.

7. A compound according to claim 6, wherein

R₅ is indolyl, pyridyl, pyrimidinyl, dihydroindolyl, dihydroisoindolyl, pyrazolyl, or pyrazinyl, each of which is unsubstituted or substituted with 1, 2, 3, or 4 groups that are independently C₁-C₄ alkyl, halogen, CF₃, OCF₃, -CO₂CH₃, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkoxy, -CO₂(C₁-C₅ alkyl), benzyloxy, -C(O)NR₆R₇, NR₈R₉, -(C₁-C₄)alkyl-C(O)NR₆R₇, -NR₆R₇, NR₆R₇-(C₁-C₄ alkyl)-, or amidinoxime; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkoxy, C₁-C₄ alkanoyl, C₁-C₄ alkoxy C₁-C₄ alkyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

8. A compound according to claim 7, wherein

R₅ is indolyl, pyridyl, pyrimidinyl, dihydroindolyl, dihydroisoindolyl, pyrazolyl, or pyrazinyl, each of which is unsubstituted or substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkyl, halogen, CF₃, OCF₃, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkoxy, -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, NR₈R₉, -NR₆R₇, or NR₆R₇-(C₁-C₄ alkyl)-; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkanoyl, or C₁-C₄ alkoxy, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

9. A compound according to claim 4, wherein
 R₅ is phenyl, phenyl(C₁-C₆)alkyl, or (C₁-C₆)alkyl, wherein
 each of the above is unsubstituted or substituted with 1,
 2, 3, 4, or 5 groups that are independently alkyl,
 5 halogen, alkoxy, benzyloxy, hydroxyalkyl,
 dihydroxyalkyl, thioalkoxy, -CO₂(C₁-C₅ alkyl), CO₂R,
 CN, amidinoxime, -NR₈R₉, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-,
 -C(O)NR₆R₇, -(C₁-C₄).alkyl-C(O)NR₆R₇, amidino, CF₃, or
 OCF₃;

10 R₈ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆
 alkyl and phenyl C₁-C₆ alkanoyl; and
 R₉ is aminoalkyl, mono C₁-C₆ alkylamino C₁-C₆ alkyl, di C₁-
 C₆ alkylamino C₁-C₆ alkyl, C₁-C₆ alkyl, C₁-C₆ alkanoyl,
 phenyl C₁-C₄ alkyl, indazolyl, and phenyl C₁-C₄
 15 alkanoyl.

10. A compound according to claim 4, wherein
 R₅ is phenyl or phenyl(C₁-C₆)alkyl, each of which is
 20 unsubstituted or substituted with 1, 2, 3, 4, or 5 groups
 that are independently alkyl, halogen, alkoxy, benzyloxy,
 thioalkoxy, -CO₂(C₁-C₅ alkyl), CO₂R, CN, amidinoxime, -
 NR₈R₉, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, -(C₁-C₄)-
 C(O)NR₆R₇, amidino, CF₃, or OCF₃; wherein
 R₆ and R₇ are independently at each occurrence H, C₁-C₄
 25 alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄
 alkoxy, C₁-C₄ alkoxy C₁-C₄ alkyl, C₁-C₄ alkanoyl,
 phenyl C₁-C₄ alkyl, phenyl C₁-C₄ alkoxy, or phenyl C₁-
 C₄ alkanoyl, wherein each is unsubstituted or
 30 substituted with 1, 2, or 3 groups that are
 independently, halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-
 C₄ alkoxy, C₁-C₄ alkyl, CF₃, or OCF₃; or
 R₆, R₇, and the nitrogen to which they are attached form a
 morpholinyl, thiomorpholinyl, or piperazinyl ring

which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

5 R₈ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆ alkyl and phenyl C₁-C₆ alkanoyl; and

R₉ is aminoalkyl, mono C₁-C₆ alkylamino C₁-C₆ alkyl, di C₁-C₆ alkylamino C₁-C₆ alkyl, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₄ alkyl, indazolyl, and phenyl C₁-C₄ alkanoyl.

10

11. A compound according to claim 10, wherein R₅ is phenyl, benzyl or phenethyl, wherein each is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently C₁-C₆ alkyl, -NR₆R₇, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₈R₉, halogen, C₁-C₆ alkoxy, CO₂R, -(C₁-C₄ alkyl)-CO₂R, C₁-C₆ thioalkoxy, amidinoxime, C₁-C₆ alkoxycarbonyl, -(C₁-C₄ alkyl)-C₁-C₆ alkoxycarbonyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄ alkyl)-CN, CN, phenyl C₁-C₆ alkoxy, OH, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈, amidinoxime, -SO₂(C₁-C₆ alkyl), -O-CH₂-O-, -O-CH₂CH₂-O-, phenyl C₁-C₄ alkoxy, or phenyl; wherein R₆ and R₇ are independently at each occurrence H, C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkanoyl, or C₁-C₄ alkoxy, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

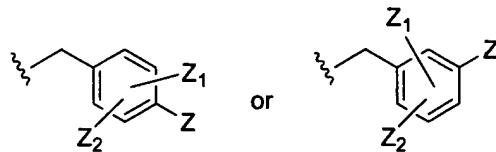
25

12. A compound according to claim 11, wherein R₅ is phenyl, benzyl or phenethyl, each of which is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently CN, halogen, C₁-C₄ alkoxy, CF₃,

OCF_3 , $\text{C}_1\text{-C}_4$ alkyl, $-\text{NR}_8\text{R}_9$, $-\text{NR}_6\text{R}_7$, $\text{R}_6\text{R}_7\text{N-}(\text{C}_1\text{-C}_6$ alkyl) $-,$ or $-\text{C(O)NR}_6\text{R}_7$, wherein

5 R_6 and R_7 are independently at each occurrence H , $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_1\text{-C}_4$ hydroxyalkyl, $\text{C}_1\text{-C}_4$ dihydroxyalkyl, $\text{C}_1\text{-C}_4$ alkanoyl, or $\text{C}_1\text{-C}_4$ alkoxy, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH , SH , $\text{C}_3\text{-C}_6$ cycloalkyl, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ alkyl, OH , CF_3 , or OCF_3 .

10 13. A compound according to claim 4, wherein the R_5 group is of the formula:



wherein

15 Z_1 and Z_2 are independently H , halogen, $\text{C}_1\text{-C}_4$ alkyl, or CO_2R ; and

Z is $-\text{C(O)NR}_6\text{R}_7$, $-(\text{C}_1\text{-C}_4\text{ alkyl-C(O)NR}_6\text{R}_7)$, $-(\text{C}_1\text{-C}_4\text{ alkyl})-$ $\text{NR}_{15}\text{C(O)R}_{18}$, $-\text{NR}_6\text{R}_7$, $\text{R}_6\text{R}_7\text{N-}(\text{C}_1\text{-C}_6\text{ alkyl})-$, $-\text{NR}_8\text{R}_9$, $\text{C}_1\text{-C}_6$ hydroxyalkyl, $\text{C}_1\text{-C}_6$ dihydroxyalkyl, $\text{C}_1\text{-C}_6$ alkyl, CO_2R , or halogen; wherein

20 R_6 and R_7 at each occurrence are independently H , OH , $\text{C}_1\text{-C}_6$ alkyl, amino $\text{C}_1\text{-C}_4$ alkyl, $\text{NH}(\text{C}_1\text{-C}_6\text{ alkyl})\text{alkyl}$, $\text{N}(\text{C}_1\text{-C}_6\text{ alkyl})(\text{C}_1\text{-C}_6\text{ alkyl})\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ hydroxyalkyl, $\text{C}_1\text{-C}_6$ dihydroxyalkyl, $\text{C}_1\text{-C}_6$ alkoxy $\text{C}_1\text{-C}_6$ alkyl, or $-\text{SO}_2(\text{C}_1\text{-C}_6\text{ alkyl})$ each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH , SH , $\text{C}_3\text{-C}_6$ cycloalkyl, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ alkyl, OH , CF_3 , or OCF_3 ;

25 or

30 R_6 , R_7 , and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a

morpholinyl, thiomorpholinyl, ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C_1-C_4 alkyl, C_1-C_4 dihydroxyalkyl, or halogen; and

5 R_{18} is C_1-C_6 alkyl optionally substituted with $-O-(C_2-C_6)$ alkanoyl, C_1-C_6 hydroxyalkyl, C_1-C_4 dihydroxyalkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl; amino C_1-C_6 alkyl, mono or dialkylamino C_1-C_6 alkyl.

10 14. A compound according to claim 4, wherein
 R₅ is pyrazolyl(C_1-C_6 alkyl), imidazolyl(C_1-C_6 alkyl), thienyl(C_1-C_6 alkyl), furanyl(C_1-C_6 alkyl), piperidinyl(C_1-C_6)alkyl, pyrrolidinyl(C_1-C_6)alkyl, imidazolidinyl(C_1-C_6)alkyl, piperazinyl(C_1-C_6)alkyl, pyridyl(C_1-C_6)alkyl, pyrimidyl(C_1-C_6)alkyl, pyridazyl(C_1-C_6)alkyl, pyrazinyl(C_1-C_6)alkyl, isoquinolinyl(C_1-C_6)alkyl, tetrahydroisoquinolinyl(C_1-C_6)alkyl, indolyl(C_1-C_6)alkyl, 1H-indazolyl(C_1-C_6)alkyl, dihydroindolyl(C_1-C_6 alkyl), dihydroindolon-2-yl(C_1-C_6 alkyl), indolinyl(C_1-C_6 alkyl),
 15 dihydroisoindolyl(C_1-C_6 alkyl), dihydrobenzimidazolyl(C_1-C_6 alkyl), or dihydrobenzoimidazolonyl(C_1-C_6 alkyl), wherein each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently (C_1-C_6)alkyl, halogen, (C_1-C_6)alkoxy, (C_1-C_6)hydroxyalkyl, 20 C_1-C_6 dihydroxyalkyl, phenyl(C_1-C_6)alkoxy, (C_1-C_6)thioalkoxy, (C_1-C_6)alkoxycarbonyl, phenyl(C_1-C_6)alkoxycarbonyl, OH, CO_2R , CN, amidino oxime, $-NR_8R_9$, 25 $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-C(O)NR_6R_7$, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, amidino, piperazinyl, morpholinyl, -
 30 SO_2 (C_1-C_6) alkyl, $-SO_2NH_2$, $-SO_2NH(C_1-C_6)$ alkyl, $-SO_2N(C_1-C_6)$ alkyl (C_1-C_6) alkyl, (C_1-C_4) haloalkyl, $-(C_1-C_4$ alkyl)- $NR_{15}C(O)NR_{16}R_{17}$, $-(C_1-C_4$ alkyl)- $NR_{15}C(O)R_{18}$, $-O-CH_2-O$, $-O-CH_2CH_2-O-$, or (C_1-C_4) haloalkoxy; wherein

R₆ and R₇ are independently at each occurrence H, (C₁-C₆) alkyl, (C₁-C₆) alkoxy, (C₁-C₆) alkoxy(C₁-C₆) alkyl, (C₁-C₆) alkoxycarbonyl, (C₁-C₆) hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄) alkyl-CO₂-(C₁-C₆) alkyl, (C₁-C₆) alkanoyl, 5 phenyl(C₁-C₆) alkyl, phenyl(C₁-C₆) alkoxy, or phenyl(C₁-C₆) alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, (C₁-C₄) alkoxy, OH, SH, C₃-C₆ cycloalkyl, NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl), (C₁-C₄) alkyl, CF₃ or OCF₃; or 10 R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen; and 15 R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆) alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl, 20 25

15. A compound according to claim 14, wherein R₅ is pyrazolyl(C₁-C₆ alkyl), imidazolyl(C₁-C₆ alkyl), benzimidazolyl(C₁-C₆ alkyl), thienyl(C₁-C₆ alkyl), pyrimidyl(C₁-C₆) alkyl, indolyl(C₁-C₆ alkyl), dihydroindolyl(C₁-C₆ alkyl), dihydroisoindolyl(C₁-C₆ alkyl), dihydroindolon-2-yl(C₁-C₆ alkyl), pyridinyl(C₁-C₆ alkyl), piperazinyl(C₁-C₆ alkyl), or pyrazinyl(C₁-C₆ alkyl) each of which is optionally substituted with 1, 2, or 3

groups that are independently C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, halogen, $-C(O)NR_6R_7$, $-(C_1$ - C_4 alkyl) $-C(O)NR_6R_7$, C_1 - C_6 alkoxy carbonyl, $-NR_6R_7$, $R_6R_7N-(C_1$ - C_6 alkyl) $-$, haloalkyl, C_1 - C_6 alkanoyl,

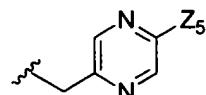
5 R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy;

or

10 R_6 , R_7 , and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen.

15

16. A compound according to claim 15, wherein R_5 is of the formula:



wherein

20 Z_5 is C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, halogen, $-C(O)NR_6R_7$, $-(C_1$ - C_4 alkyl) $-C(O)NR_6R_7$, C_1 - C_6 alkoxy carbonyl, $R_6R_7N-(C_1$ - C_6 alkyl) $-$, $-NR_6R_7$, CF_3 , or C_1 - C_6 alkanoyl, wherein

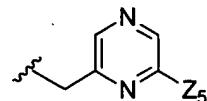
25 R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy;

or

30 R_6 , R_7 , and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2

groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

17. A compound according to claim 15, wherein
5 R₅ is of the formula:



wherein

10 Z₅ is C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, halogen, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, C₁-C₆ alkoxy carbonyl, R₆R₇N-(C₁-C₆ alkyl)-, -NR₆R₇, CF₃, or C₁-C₆ alkanoyl, wherein

15 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy;

or

20 R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

18. A compound according to claim 16, wherein
25 Z₅ is C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, halogen, C₁-C₆ alkoxy carbonyl, CF₃, or C₁-C₆ alkanoyl.

19. A compound according to claim 16, wherein
Z₅ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -NR₆R₇, CF₃, or C₁-C₄ alkanoyl, wherein

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy;

5 or

R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

10

20. A compound according to claim 19, wherein

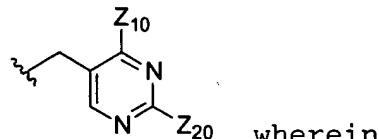
Z₅ is -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -NR₆R₇, wherein

15

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, cyclopropyl, OH, SH, or C₁-C₄ alkoxy.

20

21. A compound according to claim 15, wherein



R₅ is of the formula: Z₁₀-CH₂-C₅H₄-N=Z₂₀, wherein

Z₁₀ is H or methyl; and

25

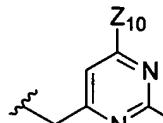
Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, haloalkyl, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

30

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups

that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

22. A compound according to claim 15, wherein



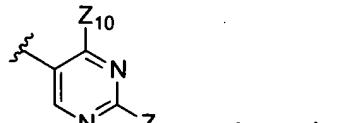
5 R_5 is of the formula: Z_5 , wherein

Z_{10} is H or methyl; and

Z_{20} is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein

10 R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

15 23. A compound according to claim 15, wherein



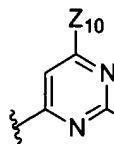
R_5 is of the formula: Z_5 , wherein

Z_{10} is H or methyl; and

Z_{20} is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, haloalkyl, (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein

20 R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

25 24. A compound according to claim 15, wherein



R₅ is of the formula:

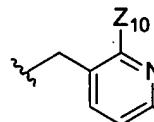
Z₁₀ is H or methyl; and

Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

5 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

10

25. A compound according to claim 15, wherein



R₅ is of the formula:

Z₁₀ is H or methyl; and

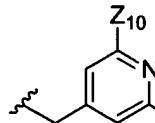
Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, haloalkyl, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

15

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

20

26. A compound according to claim 15, wherein



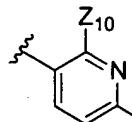
R₅ is of the formula:

25

Z₁₀ is H or methyl; and

Z_{20} is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

27. A compound according to claim 15, wherein



R₅ is of the formula: 10

Z₁₀ is H or methyl; and

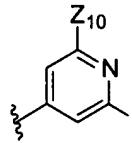
Z_{20} is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, haloalkyl, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇,

15 wherein

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

20

28. A compound according to claim 15, wherein



R₅ is of the formula: 25

Z₁₀ is H or methyl; and

Z_{20} is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are

independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

29. A compound according to claim 4, wherein

5 R_5 is phenyl, which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6\text{ alkyl})$, C_1-C_6 hydroxyalkyl, dihydroxyalkyl, halogen, C_1-C_4 alkoxy, CO_2R , OH, C_1-C_6 alkoxy carbonyl, CF_3 , $-(C_1-C_4\text{ alkyl})-$

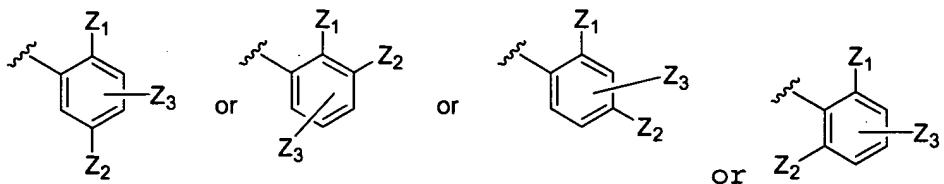
10 $NR_{15}C(O)NR_{16}R_{17}$, $-(C_1-C_4\text{ alkyl})-NR_{15}C(O)R_{18}$; wherein R_{15} is H or C_1-C_6 alkyl;

R_{16} and R_{17} are independently H or C_1-C_6 alkyl; or R_{16} , R_{17} , and the nitrogen to which they are attached form a morpholinyl ring; and

15 R_{18} is C_1-C_6 alkyl optionally substituted with $-O-(C_2-C_6)$ alkanoyl, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl; amino C_1-C_6 alkyl, mono or dialkylamino C_1-C_6 alkyl.

20 30. A compound according to claim 29, wherein

R_5 is of the formula:



25 Z_1 is H, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 dihydroxyalkyl, or C_1-C_4 alkoxy; and

Z_2 is C_1-C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6\text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, halogen, C_1-C_4 alkoxy, CO_2R , OH, C_1-C_6 alkoxy carbonyl, or C_1-C_4 haloalkyl;

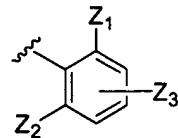
Z_3 is H, C_1-C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6\text{ alkyl})$, $C_1-C_6\text{ hydroxyalkyl}$, $C_1-C_6\text{ dihydroxyalkyl}$, halogen, $C_1-C_4\text{ alkoxy}$, CO_2R , OH, $C_1-C_6\text{ alkoxycarbonyl}$, or $C_1-C_4\text{ haloalkyl}$;

5 wherein

R_6 and R_7 , at each occurrence are independently H, OH, C_1-C_6 alkyl, amino C_1-C_4 alkyl, $NH(C_1-C_6\text{ alkyl})\text{alkyl}$, $N(C_1-C_6\text{ alkyl})(C_1-C_6\text{ alkyl})\text{ C}_1-C_6\text{ alkyl}$, $C_1-C_6\text{ hydroxyalkyl}$, $C_1-C_6\text{ dihydroxyalkyl}$, $C_1-C_6\text{ alkoxy }C_1-C_6\text{ alkyl}$, $-SO_2(C_1-C_6\text{ alkyl})$, $-SO_2NH_2$, $-SO_2NH(C_1-C_6\text{ alkyl})$, $-SO_2N(C_1-C_6\text{ alkyl})(C_1-C_6\text{ alkyl})$, or $C_1-C_6\text{ alkanoyl}$, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C_3-C_6 cycloalkyl, $C_1-C_4\text{ alkoxy}$, $C_1-C_4\text{ alkyl}$, OH, CF_3 , or OCF_3 .

15

31. A compound according to claim 30, wherein R_5 is of the formula:



wherein

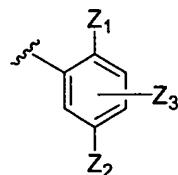
20 Z_1 is H, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 dihydroxyalkyl, or C_1-C_4 alkoxy; and Z_2 is C_1-C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6\text{ alkyl})$, $C_1-C_6\text{ hydroxyalkyl}$, $C_1-C_6\text{ dihydroxyalkyl}$, halogen, $C_1-C_4\text{ alkoxy}$, CO_2R , OH, $C_1-C_6\text{ alkoxycarbonyl}$, or $C_1-C_4\text{ haloalkyl}$;

Z_3 is H, C_1-C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6\text{ alkyl})$, $C_1-C_6\text{ hydroxyalkyl}$, $C_1-C_6\text{ dihydroxyalkyl}$, halogen, $C_1-C_4\text{ alkoxy}$, CO_2R , OH, $C_1-C_6\text{ alkoxycarbonyl}$, or $C_1-C_4\text{ haloalkyl}$, wherein

30 R_6 and R_7 , at each occurrence are independently H, OH, C_1-C_6 alkyl, amino C_1-C_4 alkyl, $NH(C_1-C_6\text{ alkyl})\text{alkyl}$, $N(C_1-C_6\text{ alkyl})(C_1-C_6\text{ alkyl})$, or $C_1-C_6\text{ alkanoyl}$, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C_3-C_6 cycloalkyl, $C_1-C_4\text{ alkoxy}$, $C_1-C_4\text{ alkyl}$, OH, CF_3 , or OCF_3 .

alkyl) (C₁-C₆ alkyl) C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, -SO₂(C₁-C₆ alkyl), -SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆ alkyl), or C₁-C₆ alkanoyl, each of which is optionally substituted with 1, 5 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

32. A compound according to claim 30, wherein R₅ is of the formula:



10

wherein

Z₁ is H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, or C₁-C₄ alkoxy; and

Z₂ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, 15 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, OH, C₁-C₆ alkoxycarbonyl, or C₁-C₄ haloalkyl;

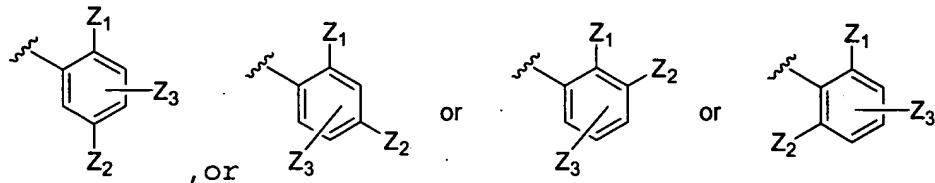
Z₃ is H, C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, OH, C₁-C₆ alkoxycarbonyl, or C₁-C₄ haloalkyl, wherein

R₆ and R₇ at each occurrence are independently H, OH, C₁-C₆ alkyl, amino C₁-C₄ alkyl, NH(C₁-C₆ alkyl)alkyl, N(C₁-C₆ alkyl)(C₁-C₆ alkyl) C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, -SO₂(C₁-C₆ alkyl), 25 -SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆ alkyl), or C₁-C₆ alkanoyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

30

33. A compound according to claim 29, wherein

R₅ is either



5

wherein

Z₁ is H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, or C₁-C₄ alkoxy; and

Z₂ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, C₁-C₆ alkoxy carbonyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, or -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈;

Z₃ is H, C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, C₁-C₆ alkoxy carbonyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, or -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈;

R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

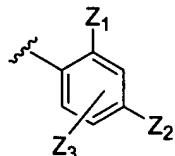
R₁₅ is H or C₁-C₆ alkyl;

R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or

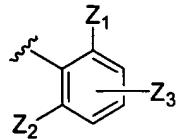
R₁₆, R₁₇, and the nitrogen to which they are attached form a morpholinyl ring;

R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆ alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl.

34. A compound according to claim 33, wherein R_5 is of the formula:



35. A compound according to claim 33, wherein
 R₅ is of the formula:



5 wherein

Z₁ is H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, or C₁-C₄ alkoxy; and

Z₂ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇,
 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, C₁-C₆ 10 alkoxy carbonyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, or -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈;

Z₃ is H, C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, C₁-C₆ 15 alkoxy carbonyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, or -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈;

R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a 20 morpholinyl ring, each of which is optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

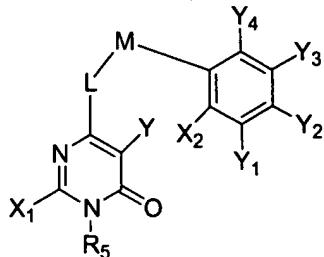
R₁₅ is H or C₁-C₆ alkyl;

25 R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or R₁₆, R₁₇, and the nitrogen to which they are attached form a morpholinyl ring;

R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆ alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆

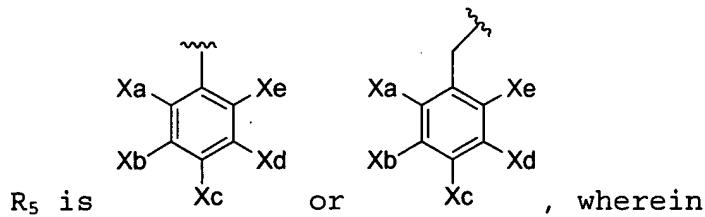
30 alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl.

36. A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein

5 L and M are independently selected from -O-, -CH₂-, -S-, -NR-, -
N(R)-N(R)-, C(=O)-, -SO₂-;



X₁, X₂, X_a, X_b, X_c, X_d, and X_e are independently selected from
-C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, hydroxy(C₁-

10 C₄)alkyl, C₁-C₄ dihydroxyalkyl, H, OH, halogen, haloalkyl,
alkyl, haloalkoxy, heteroaryl, heterocycloalkyl, C₃-C₇
cycloalkyl, R₆R₇N-(C₁-C₆ alkyl)-, -CO₂-(C₁-C₆)alkyl,
-N(R)C(O)NR₆R₇, -N(R)C(O)-(C₁-C₆)alkoxy, CO₂R-(C₁-C₆ alkyl)-
, or -SO₂NR₆R₇; wherein the heteroaryl and
15 heterocycloalkyl groups are optionally substituted with -
NR₆R₇, -C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, C₁-C₆
alkoxy, or halogen; or

R₅ is heteroaryl or heteroarylalkyl, wherein the heteroaryl and
heteroaryl groups are optionally substituted with 1, 2, 3,
20 or 4 groups that are independently -C(O)NR₆R₇, -(C₁-C₄

alkyl)-C(O)NR₆R₇, -NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄
dihydroxyalkyl, H, OH, halogen, haloalkyl, alkyl,
haloalkoxy, R₆R₇N-(C₁-C₆ alkyl)-, -CO₂-(C₁-C₆)alkyl,
-N(R)C(O)NR₆R₇, or -N(R)C(O)-(C₁-C₆)alkoxy; wherein

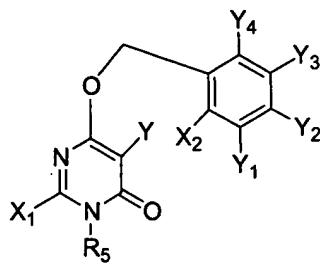
R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ alkoxycarbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₆ thiohydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, SH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃; or

R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

R at each occurrence is independently H or C₁-C₆ alkyl; and

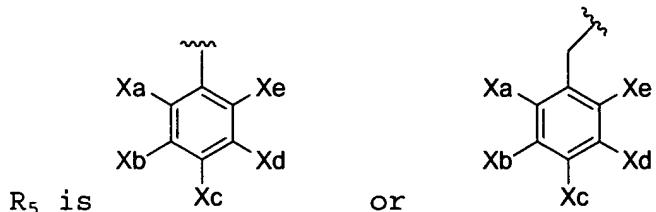
Y, Y₁, Y₂, Y₃, and Y₄ are independently selected from H, halogen, alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, alkenyl, alkynyl, CN, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, and carboxyl.

37. A compound according to claim 36 of the formula



or a pharmaceutically acceptable salt thereof.

38. A compound according to claim 37, wherein

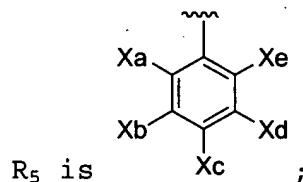


5

39. A compound according to claim 31, wherein
 Y_2 , Y_4 , and Y are independently halogen; and
 Y_1 and Y_3 are both hydrogen.

10

40. A compound according to claim 39, wherein



15

X_1 and X_2 are independently H, methyl, NR_6R_7 , $-(C_1-C_4$ alkyl) -
 $C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl) -, $-C(O)NR_6R_7$, C_1-C_6
hydroxyalkyl, C_1-C_6 dihydroxyalkyl, or $-(C_1-C_4$ alkyl) -
morpholinyl; and

X_a and X_e are independently halogen, NH_2 , $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl) (C_1-C_6 alkyl), methyl, or hydrogen.

20

41. A compound according to claim 40, wherein

one of X_b and X_c is hydrogen and the other is $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl) -, $-C(O)NR_6R_7$, $-SO_2NR_6R_7$, or halogen; where

25

R_6 and R_7 are independently at each occurrence H, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 alkoxy carbonyl, OH, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, $-(C_1-C_4)$ alkyl- CO_2 -alkyl, pyridyl C_1-C_6 alkyl, C_1-C_6 alkanoyl, benzyl, phenyl C_1-C_6 alkoxy, or

phenyl C_1 - C_6 alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C_3 - C_6 cycloalkyl, C_1 - C_6 alkoxy, piperidinyl C_1 - C_6 alkyl, morpholinyl C_1 - C_6 alkyl, piperazinyl C_1 - C_6 alkyl, OH, SH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O- C_1 - C_4 alkanoyl, C_1 - C_4 alkyl, CF₃, or OCF₃; or

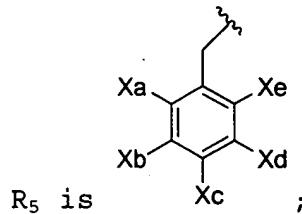
10 R_6 , R_7 , and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy, hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen.

15 42. A compound according to claim 41, wherein R_6 and R_7 are independently at each occurrence H, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6 alkoxy carbonyl, OH, C_1 - C_6 hydroxyalkyl, C_1 - C_6 dihydroxyalkyl, -(C_1 - C_4)alkyl-CO₂-alkyl, pyridyl C_1 - C_6 alkyl, C_1 - C_6 alkanoyl, benzyl, phenyl C_1 - C_6 alkoxy, or phenyl C_1 - C_6 alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C_3 - C_6 cycloalkyl, C_1 - C_6 alkoxy, piperidinyl C_1 - C_6 alkyl, morpholinyl C_1 - C_6 alkyl, piperazinyl C_1 - C_6 alkyl, OH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O- C_1 - C_4 alkanoyl, C_1 - C_4 alkyl, CF₃, or OCF₃.

30 43. A compound according to claim 42, wherein X_a is hydrogen, methyl, fluorine, or chlorine; X_c and X_d are both hydrogen; X_b is -NR₆R₇, -(C_1 - C_4 alkyl)-C(O)NR₆R₇, R₆R₇N-(C_1 - C_6 alkyl)-, -C(O)NR₆R₇; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, or C₁-C₆ alkanoyl, wherein each of the above is optionally substituted with 1, 2, or 3 groups that are independently OH, SH, halogen, or C₃-C₆ cycloalkyl.

44. A compound according to claim 39, wherein



X_a is H, fluoro, chloro, or methyl;
 X_e is hydrogen, halogen, or methyl; and
 X_b is H;
 X_d is H or halogen;

45. A compound according to claim 44, wherein

X_c is -SO₂NR₆R₇, or halogen; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ alkoxy carbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, SH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃; or

R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen; or

X_c is fluoro, chloro, -NH₂, -NH(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)(C₁-C₆ alkyl), -SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆ alkyl), or piperazinyl, wherein the piperazinyl group is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

46. A compound according to claim 44, wherein X_c is -C(O)NR₆R₇, -(C₁-C₆ alkyl)-C(O)NR₆R₇, -NR₆R₇, or R₆R₇N-(C₁-C₆ alkyl)-; wherein R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ alkoxy carbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, -NH₂, -NH(alkyl), -N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃; or

R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl,

pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

5

47. A compound according to claim 46, wherein R₆ is hydrogen; and R₇ is C₁-C₆ alkyl or C₁-C₆ alkanoyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently 10 NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl), OH, SH, cyclopropyl, or C₁-C₄ alkoxy;

48. A compound according to claim 47, wherein 15 X_c is -C(O)NR₆R₇.

49. A compound according to claim 47, wherein X_c is NR₆R₇, or R₆R₇N-(C₁-C₆ alkyl)-.

50. A compound according to claim 38, wherein 20 X_a is hydrogen; two of X_b, X_c, and X_d are hydrogen and the other is -C(O)NR₆R₇, -(C₁-C₆ alkyl)-C(O)NR₆R₇, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)- or -CO₂-(C₁-C₆)alkyl; wherein 25 R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ alkoxy carbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl, wherein each of the above is 30 unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, NH₂, NH(alkyl),

N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃; or

R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, piperidinyl, pyrrolidinyl, or 5 piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen; and X_e is hydrogen, methyl, C₁-C₂ alkoxy, or halogen.

10

51. A compound according to claim 50, wherein X_b is -C(O)NR₆R₇, -(C₁-C₆ alkyl)-C(O)NR₆R₇, -NR₆R₇, or R₆R₇N-(C₁-C₆ alkyl)- wherein

R₆ is hydrogen or C₁-C₄ alkyl;

15 R₇ is OH, C₁-C₆ alkyl or C₁-C₆ alkanoyl, wherein the alkyl and alkanoyl groups substituted with 1, 2, or 3 groups that are independently NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl), C₃-C₆ cycloalkyl, OH, or C₁-C₄ alkoxy.

20

52. A compound according to claim 38, wherein

X_a is halogen or methyl;

X_b is H, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, or -CO₂-(C₁-C₆)alkyl;

25 X_c is -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, halogen, -CO₂-(C₁-C₆)alkyl, NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl), -SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆ alkyl), or piperazinyl, wherein the piperazinyl group is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

30 X_d is hydrogen;

X_e is H, methyl, NH₂, NH(C₁-C₆ alkyl) or N(C₁-C₆ alkyl)(C₁-C₆ alkyl).

53. A compound according to claim 38, wherein

X_1 , X_2 , X_a , X_b , X_c , X_d , and X_e are independently selected from H, OH, halogen, CF_3 , alkyl, OCF_3 , pyridyl, pyridazinyl, 5 pyrimidyl, pyrazinyl, thienyl, furyl, pyrrolyl, piperidinyl, piperazinyl, or C_3-C_7 cycloalkyl, wherein each of the above is optionally substituted with $-NR_6R_7$, $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6\text{ alkyl})-$, C_1-C_6 alkyl, C_1-C_6 alkoxy, or halogen.

10

54. A compound according to claim 37, wherein

R_5 is a heteroaryl or heteroarylalkyl group, where each heteroaryl is pyrazolyl, imidazolyl, furanyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, pyrazolyl, imidazolyl, dihydroindolyl, dihydroisoindolyl, indolon-2-yl, quinolinyl, isoquinolinyl, tetrahydroisoquinolinyl, dihydroisoquinolinyl, or indolyl, each of which is optionally substituted with 1, 2, 3, or 4 groups that are independently $-C(O)NR_6R_7$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, hydrogen, 20 hydroxy, halogen, haloalkyl, alkyl, haloalkoxy, $R_6R_7N-(C_1-C_6\text{ alkyl})-$, $-CO_2-(C_1-C_6\text{ alkyl})$, $-N(R)C(O)NR_6R_7$, or $-N(R)C(O)-(C_1-C_6\text{ alkoxy})$; wherein

25

R_6 and R_7 are independently at each occurrence H, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 alkoxy carbonyl, OH, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, C_1-C_6 thiohydroxyalkyl, $-(C_1-C_4\text{ alkyl})-CO_2$ -alkyl, pyridyl C_1-C_6 alkyl, C_1-C_6 alkanoyl, benzyl, phenyl C_1-C_6 alkoxy, or phenyl C_1-C_6 alkanoyl, 30 wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C_3-C_6 cycloalkyl, C_1-C_6 alkoxy, piperidinyl C_1-C_6 alkyl, morpholinyl C_1-C_6

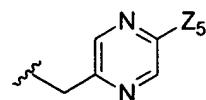
alkyl, piperazinyl C_1-C_6 alkyl, OH, SH, NH_2 , $NH(alkyl)$, $N(alkyl)(alkyl)$, $-O-C_1-C_4$ alkanoyl, C_1-C_4 alkyl, CF_3 , or OCF .

5 55. A compound according to claim 54, wherein
 Y_2 , Y_4 , and Y are independently halogen; and
 Y_1 and Y_3 are both hydrogen.

10 56. A compound according to claim 55, wherein
 X_1 and X_2 are independently H, methyl, $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-C(O)NR_6R_7$, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, or $-(C_1-C_4$ alkyl)-morpholinyl.

15 57. A compound according to claim 56, wherein
 R_5 is pyridyl C_1-C_6 alkyl, pyrimidinyl C_1-C_6 alkyl, or pyrazinyl C_1-C_6 alkyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4)alkyl, OCF_3 , $-NR_6R_7$, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, or $-C(O)NR_6R_7$.

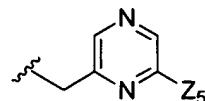
20 58. A compound according to claim 57, wherein
 R_5 is of the formula:



25 wherein
 Z_5 is hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein
30 R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups

that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

59. A compound according to claim 57, wherein
5 R_5 is of the formula:



wherein

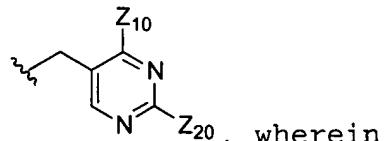
Z_5 is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6\text{ alkyl})-$, $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein

10

R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

15

60. A compound according to claim 57, wherein



R_5 is of the formula:

20

Z_{10} is H or methyl; and

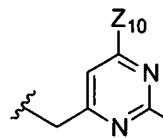
25

Z_{20} is $-(C_1-C_4\text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6\text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

25

61. A compound according to claim 57, wherein



R₅ is of the formula:

Z₁₀ is H or methyl; and

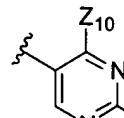
Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

5

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

10

62. A compound according to claim 57, wherein



R₅ is of the formula:

Z₁₀ is H or methyl; and

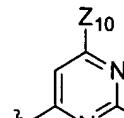
Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

15

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

20

63. A compound according to claim 57, wherein

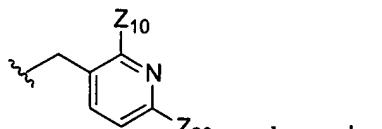


R₅ is of the formula:

Z₁₀ is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein
5 R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxy carbonyl, halogen, C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.

64. A compound according to claim 57, wherein



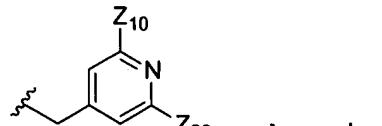
10 R_5 is of the formula: Z_{20} , wherein

Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

15 R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxy carbonyl, halogen, C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.

20 65. A compound according to claim 57, wherein



R_5 is of the formula: Z_{20} , wherein

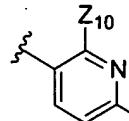
Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

25 R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups

that are independently C₁-C₄ alkoxycarbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

66. A compound according to claim 57, wherein



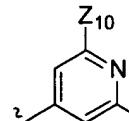
5 R₅ is of the formula: Z₂₀, wherein

Z₁₀ is H or methyl; and

Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

10 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxycarbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

15 67. A compound according to claim 57, wherein



R₅ is of the formula: Z₂₀, wherein

Z₁₀ is H or methyl; and

Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

20 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxycarbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

25

68. A method of treating a TNF mediated disorder, a p38 kinase mediated disorder, inflammation and/or arthritis in a subject, the method comprising treating a subject having or

susceptible to such disorder or condition with a compound of the formula:



or a pharmaceutically acceptable salt thereof, wherein

5 R_1 is H, halogen, NO_2 , alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkenyl, alkynyl, arylalkynyl, -CN, aryl, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, haloalkoxy, carboxyl, or arylalkanoyl, wherein the aryl portion of arylalkoxy, arylalkyl, and

10 arylalkanoyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_1\text{-C}_4$ alkoxy, nitro, CN, haloalkyl, haloalkoxy or CO_2R ;

15 wherein the alkyl portion of the alkyl, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkanoyl, alkoxy, alkoxyalkyl and arylalkanoyl groups is unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ alkoxycarbonyl, or $\text{C}_3\text{-C}_7$ cycloalkyl;

20 R_2 is H, OH, halogen, $-\text{OSO}_2-(\text{C}_1\text{-C}_6)$ alkyl, $-\text{OSO}_2\text{-aryl}$, arylalkoxy, aryloxy, arylthio, arylthioalkoxy, arylalkynyl, alkoxy, aryloxy($\text{C}_1\text{-C}_6$)alkyl, alkyl, alkynyl, $-\text{OC(O)NH(CH}_2)_n\text{aryl}$, $-\text{OC(O)N(alkyl)(CH}_2)_n\text{aryl}$, alkoxyalkoxy, dialkylamino, alkyl, alkoxy, aryl, arylalkyl, heteroaryl, heteroarylalkyl, arylalkenyl, heterocycloalkyl, heterocycloalkylalkyl, alkoxyalkoxy, NR_8R_9 , dialkylamino, or CO_2R , wherein

25 n is 0, 1, 2, 3, 4, 5 or 6; each of which groups is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently

halogen, - (C₁-C₆) alkyl-N(R)-CO₂R₃₀, haloalkyl,
heteroaryl, heteroarylalkyl, -NR₆R₇, R₆R₇N-(C₁-C₆
alkyl)-, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -(C₁-C₄
alkyl)-NRC(O)NR₁₆R₁₇, haloalkoxy, alkyl, CN, alkoxy,
5 alkoxycarbonyl, phenyl, -SO₂-phenyl wherein the
phenyl and -SO₂-phenyl groups are optionally
substituted with 1, 2, or 3 groups that are
independently halogen or NO₂, or -OC(O)NR₆R₇, wherein
R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or
10 R₁₆, R₁₇ and the nitrogen to which they are attached
form a morpholinyl ring;
R₆ and R₇ are independently at each occurrence H,
alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxy,
alkanoyl, arylalkyl, arylalkoxy,
15 alkoxycarbonyl, -SO₂-alkyl, OH, alkoxy,
alkoxyalkyl, arylalkoxycarbonyl, -(C₁-C₄)alkyl-
CO₂-alkyl, heteroarylalkyl, or arylalkanoyl,
wherein each is unsubstituted or substituted
with 1, 2, or 3 groups that are independently,
20 halogen, OH, SH, heterocycloalkyl,
heterocycloalkylalkyl, C₃-C₇ cycloalkyl, alkoxy,
NH₂, NH(alkyl), N(alkyl)(alkyl), -O-alkanoyl,
alkyl, haloalkyl, carboxaldehyde, or
haloalkoxy; or
25 R₆, R₇, and the nitrogen to which they are attached
form a morpholinyl, pyrrolidinyl,
thiomorpholinyl, thiomorpholinyl S-oxide,
thiomorpholinyl S,S-dioxide, piperidinyl,
pyrrolidinyl, or piperazinyl ring which is
30 optionally substituted with 1 or 2 groups that
are independently C₁-C₄ alkyl, alkoxycarbonyl,
C₁-C₄ alkoxy, hydroxyl, hydroxyalkyl,
dihydroxyalkyl, or halogen;

R at each occurrence is independently hydrogen or C₁-C₆ alkyl optionally substituted with optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, 5 monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

R₃₀ is C₁-C₆ alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ 10 cycloalkyl;

each R₈ is independently hydrogen, alkyl, alkanoyl, arylalkyl and arylalkanoyl, wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, 15 alkoxy, alkoxycarbonyl, halogen, or haloalkyl;

each R₉ is hydrogen, alkyl, alkanoyl, arylalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, heteroaryl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, arylalkanoyl, -SO₂-phenyl, 20 and aryl wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxycarbonyl, halogen, or haloalkyl;

R₄ is hydrogen or R₄ is alkyl unsubstituted or substituted with 25 one or two groups that are independently CO₂R, -CO₂-(C₁-C₆)alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -N(R₃₀)C(O)NR₁₆R₁₇, -N(R₃₀)C(O)-(C₁-C₆)alkoxy, or -NR₆R₇, arylalkoxy, arylalkyl, heteroaryl, hydroxyalkyl, dihydroxyalkyl, haloalkyl, R₆R₇N-(C₁-C₆ alkyl)-, -NR₆R₇, 30 alkoxy, carboxaldehyde, CO₂R, alkoxyalkyl, or alkoxyalkoxy, wherein the aryl portion of arylalkoxy and arylalkyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, hydroxy,

alkoxy, alkyl, $-\text{CO}_2-$ (C₁-C₆)alkyl, $-\text{CONR}_6\text{R}_7$, $-\text{NR}_6\text{R}_7$, R₆R₇N-(C₁-C₆)alkyl-, nitro, haloalkyl, or haloalkoxy; and

R₅ is H, aryl, arylalkyl, arylthioalkyl, alkyl optionally substituted with 1, 2, or 3 groups that are independently arylalkoxycarbonyl, $-\text{NR}_8\text{R}_9$, halogen, $-\text{C}(\text{O})\text{NR}_8\text{R}_9$, alkoxy carbonyl, C₃-C₇ cycloalkyl, or alkanoyl, alkoxy, alkoxyalkyl optionally substituted with one trimethylsilyl group, amino, alkoxy carbonyl, hydroxyalkyl, dihydroxyalkyl, alkynyl, $-\text{SO}_2$ -alkyl, alkoxy optionally substituted with one trimethylsilyl group, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, -alkyl-S-aryl, -alkyl-SO₂-aryl, heteroarylalkyl, heterocycloalkyl, heteroaryl, or alkenyl optionally substituted with alkoxy carbonyl, wherein

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, halogen, alkoxy, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, thioalkoxy, alkoxy carbonyl, arylalkoxycarbonyl, CO₂R, CN, OH, hydroxyalkyl, dihydroxyalkyl, amidino oxime, $-\text{NR}_6\text{R}_7$, $-\text{NR}_8\text{R}_9$, R₆R₇N-(C₁-C₆ alkyl)-, carboxaldehyde, SO₂alkyl, $-\text{SO}_2\text{H}$, $-\text{SO}_2\text{NR}_6\text{R}_7$, alkanoyl wherein the alkyl portion is optionally substituted with OH, halogen or alkoxy, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, -(C₁-C₄ alkyl)-C(O)NR₆R₇, amidino, haloalkyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈, -O-CH₂-O, -O-CH₂CH₂-O-, or haloalkoxy; wherein

R₁₅ is H or C₁-C₆ alkyl;

R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆) alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl.

69. A compound according to claim 17, wherein Z_5 is C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 dihydroxyalkyl, halogen, C_1-C_6 5 alkoxycarbonyl, CF_3 , or C_1-C_6 alkanoyl.

70. A compound according to claim 17, wherein Z_5 is C_1-C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4$ alkyl $)-C(O)NR_6R_7$, $R_6R_7N-(C_1-$ 10 C_6 alkyl $)-$, or $-NR_6R_7$, CF_3 , or C_1-C_4 alkanoyl, wherein R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxycarbonyl, halogen, C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.